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NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;
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NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 09 JAPIO to be reloaded August 25, 2002
NEWS 20 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                   now available on STN
NEWS 21 Aug 19
                  IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 22 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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SAMPLE SEARCH INITIATED 14:36:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED 70 ITERATIONS SEARCH TIME: 00.00.02

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 899 TO 1901

PROJECTED ITERATIONS: 899 TO 1901
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full

Examiner Anderson 703-605-1157

10049645 Page 3 08/23/2002

FULL SEARCH INITIATED 14:36:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1424 TO ITERATE

100.0% PROCESSED 1424 ITERATIONS

121 ANSWERS

SEARCH TIME: 00.00.02

L3 121 SEA SSS FUL L1

=> d scan

10049645 Page 4 08/23/2002

L3 121 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Benzeneacetamide, N-{4-{(2,4-dioxo-5-thiazolidinyl)methyl}phenyl}-3-methoxy- (9C1)
MF C19 H18 N2 O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

10049645 Page 5 08/23/2002

=> fil caplus
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FULL ESTIMATED COST

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=> s 13 L4 11 L3

=> d ibib abs hitstr 1-11

10049645 Page 6 08/23/2002

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:265369 CAPLUS DOCUMENT NUMBER: 134:295620

INVENTOR(S):

134:295620
Preparation and effect of 4-methoxyphenylpropionic acid derivatives useful in insulin resistance improvement Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshinhiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazutos Miyashita, Sadakazu; Hibara, Taro; Seiki, Hisashi; Clatk, Richard; Harada, Hitoshi Eisai Co., Ltd., Japan PCT Int. Appl., 350 pp. CODEN: PIXXD2
Patent
Japanese

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. XIND DATE APPLICATION NO. DATE

WO 2001025181 Al 20010412 W0 2000-JP6788 20000929

W: AU, BR, CA, CN, HU, IL, JP, XR, MX, NO, NO, Z, XU, US, ZA
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE
AU 2000074499 A5 20010510 AU 2000-74499 20000929

EP 1216980 A1 20020626 EP 2000-962993 20000929

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY
PRIORITY APPLN. INFO.: JP 1999-282079 A 19901003

JP 1999-282079 A 19991001 JP 1999-369442 A 19991227 JP 2000-38795 A 20000216 JP 2000-104260 A 20000405 JP 2000-2000038795A 20000216 JP 2000-2000104260A 20000406 WO 2000-JP6788 W 20000929

OTHER SOURCE(S): WO MARPAT 134:295620

Title compds. [Y:L:X:TZM:CWR]; Rl is hydrogen, hydroxyl, alkyl; L is single bond, double bond, alkylene; M is single bond, alkylene; Y is single bond, alkylene; W is carboxyl, amide; X is oxygen, alkenylene; Y is arom. hydrocarbon; Z is arom. hydrocarbon; Colon ceptesents single, or double bond], salts, esters, and hydrates are prepd. and are useful in prevention or treatment of diabetes and X-syndrome. Thus, the title

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS SSION NUMBER: 2001:152658 CAPLUS MENT NUMBER: 134:193426

DOCUMENT NUMBER:

134:193426
Preparation of substituted benzylthiazolidine-2,4dione derivatives as agonists for peroxisomal
proliferator activated receptor (PPAR)
Miyachi, Hiroyuki, Nomura, Masahiro; Tanase, Takahiro;
Murakami, Kojir Tsunoda, Masaki
Kyorin Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 49 pp.
CODEN PIXXID

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

A1 20010 PATENT NO. APPLICATION NO. DATE

OTHER SOURCE(S):

The title compds. (I) or pharmaceutically acceptable salts thereof, or hydrates of both (wherein A is CH2COM, NHCONH, CH2CH2CO, or NHCOCH2; B is C1-4 lower alkyl, C1-3 lower alkowy, halogeno, trifluoromethyl, trifluoromethyl, substituted or unsubstituted Ph, substituted or unsubstituted phenoxy, or substituted or unsubstituted pensyloxy) are prepd. These compds, bind as ligands to human peroxisome proliferator-activated receptor (PFAR) to thereby activate the receptor and exert anthyperglycenic and anthyperlipidemic effects. Thus, 378 mg 5-(13-amino-4-methoxyphenyl)methyl]thiszolidine-2.4-dione was mixed with 5 mL THF, followed by adding 0.236 mL 4-trifluoromethyl isocyanate with stirring at room temp, and the stirring was continued at room temp. for 6

Examiner Anderson 703-605-1157

ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) compd. I was prepd. and biol. tested.
334012-89-4P 334012-92-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and effect of methoxyphenylpropionic acid derivs. useful in insulin resistance improvement as PPAR agonists)
334012-89-4 CAPLUS
Benzeneacetamide, N-(4-chloro-2-fluorophenyl)-5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxy- (9CI) (CA INDEX NAME)

334012-92-9 CAPLUS

Benzeneacetamide, N-(2,4-dichlorophenyl)-5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
h, and left to stand overnight to give 574 5-[[4-methoxy-3-[3-[4-(trifluoromethyl)phenyl]ureido]phenyl]methyl]ethazolidine-2,4-dione (II).
II showed the transcription-activating activity for human PPAR.alpha. and
PPAR.gamma. in CHO cells with EC50 of 0.55 and 0.43 .mu.H, resp.
327162-61-8P 327162-62-9P 327162-64-9P
RL: BAC [Bological activity or effector, except adverse); BSU [Biological
study, unclassified); SPN [Synthetic preparation); THU (Therapeutic use);
BIOL (Biological activity); PRFP (Preparation); USES (USES)
(prepn. of substituted benzylthiazolidinedione derivs. as agonists for
peroxional proliferator activated receptor (PPAR) and
antihyperglycemic and antihyperlipidemic agents)
327162-48-1 CAPLUS
Benzeneacetamide, 5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxy-N-[4(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

327162-51-6 CAPLUS
Urea, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

327162-54-9 CAPLUS Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10049645 Page 7 08/23/2002

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

327162-61-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-methoxy-3-[1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

327162-62-9 CAPLUS
Benzeneacetamide, 5-[(2,4-dioxo-5-thiszolidinyl)methyl]-2-methoxy-N-(4-phenoxyphenyl)- (9C1) (CA INDEX NAME)

327162-66-3 CAPLUS
Benzeneacetamide, 4-chloro-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS

327162-69-6 CAPLUS [1,1'-Biphenyl]-4-acetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4'-methoxyp- (9C1) (CA INDEX NAME)

327162-70-9 CAPLUS [1,1'-Siphenyl]-4-acetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4'-methyl- (9C1) (CA INDEX NAME)

327162-71-0 CAPLUS
[1,1'-Biphenyl]-4-acetamide, 4'-chloro-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

Examiner Anderson 703-605-1157

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS methoxyphenyl]- (9CI) (CA INDEX NAME) (Continued)

327162-67-4 327162-68-5 327162-69-6
327162-70-9 327162-71-0 327162-72-1
327162-73-2 327162-74-3 327162-75-4
RI: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of substituted benzylthiazolidinedione derivs. as agonists for peroxisonal proliferator activated receptor (PPAR) and antihyperglycemic and antihyperlipidemic agents)
327162-67-4 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-methyl- (SCI) (CA INDEX NAME)

327162-68-5 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

327162-72-1 CAPLUS
Benzeneacetamide, 4-(4-chlorophenoxy)-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

327162-73-2 CAPLUS 32/162-73-2 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2methoxyphenyl]-4-[(4-methoxyphenyl)methoxy]- (9CI) (CA INDEX NAME)

327162-74-3 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)

10049645 Page 8 08/23/2002

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

327162-75-4 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

201802-09-7 CAPLUS
Benzeneacetamide, 4-(dimethylamino)-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:
DOCUMENT NUMBER:
117LE:
128:1114993
Novel benzoxazole 2,4-thiazolidinediones as potent hypoglycemic agents. Synthesis and structure-activity relationships
AUTHOR(S):
Arakawa, Kenji, Inamasu, Masanori, Matsumoto, Mamorus Okumura, Kunihitor Yasuda, Kosuker Akatsuka, Hidenori, Kawanami, Saburor Watanabe, Akishiges Homma, Koichi; Saiga, Yutakas Ozeki, Masakatsu Iijima, Ikuo
CORPORATE SOURCE:
CO., Lot., Saitama, 335, Japan
COMENT COMENT

PUBLISHER: DOCUMENT TYPE: LANGUAGE: AB Benzoxazol LISHER: Pharmaceutical Society of Japan
MENT TYPE: Journal
NAGE: Society of Japan
Denovazole 2.4-th azolidinediones were synthesized and evaluated for
hypoglycemic activity in genetically obese and diabetic yellow KK mice.
2-Arylmethyl- and 2-(heteroarylmethyl)benzoxazole derivs. showed far more
potent activity than known 2.4-thiszolidinedione derivs. such as
ciglitazone, troglitazone and pioglitazone. A facile synthesis of
benzoxazole 2.4-thiazolidinediones was also established using aminophenol
2.4-thiazolidinedione as a key intermediate. Details of synthesis and
structure-activity relations for this series are described.
201802-07-5 201802-09-7
KL: KCT (Reactant). PACT (Reactant or reagent)
(preph. of benzoxazole 2.4-thiazolidinediones as potent hypoglycemic
agents)
201802-07-5 CAPLUS
(1.1-alphenyl)-4-acetamide, N-[4-[(2.4-dioxo-5-thiazolidinyl)methyl]-2hydroxyphenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

130769-07-2P RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of benzoxazole 2,4-thiazolidinediones as potent hypoglycemic agents) 130769-07-2 CAPLUS

Benzeneacetamide, 4-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

10049645 Page 9 08/23/2002

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

ΙT 201802-02-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of benzoxazole 2,4-thiazolidinediones as potent hypoglycemic agents) 201802-02-0 CAPLUS

2-Naphthaleneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
195603-81-7P 195603-82-8P 195603-83-9P
195603-84-0P 195603-85-1P 195603-85-3P
195603-97-3P 195603-88-4P 195603-99-5P
195603-97-3P 195603-91-9P 195603-99-3P
195603-97-1P 195603-91-9P 195603-99-3P
195603-97-7P 195604-00-3P 195603-98-3P
195603-97-7P 195604-00-3P 195604-01-4P
195604-09-2P 195604-10-5P 195604-11-6P
195604-16-1P 195604-13-8P 195604-14-9P
195604-16-1P 195604-17-2P 195604-18-3P
195604-16-1P 195604-19-3P
195604-16-1P 195604-19-3P
195604-16-1P 195604-19-3P
195604-16-1P 195604-19-3P
195604-16-1P 195604-19-3P
195604-19-1P 195604-19-3P
195604-18-1P 195604-19-3P
195604-18-1P 195604-19-3P
195604-18-1P 195604-19-3P
195603-78-1P 195604-19-3P
IDIO (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiarolidinedione derives, with activity for lowering blood supar and lipid for treatment of diabetes)
195603-78-2 CAPLUS
BBNZeneauetamade, N-[4-[{2,4-dioxo-5-thiazolidinyl}methyl]phenyl]- (9CI)
(CA INDEX NAME)

195603-79-3 CAPLUS
Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiszolidinyl)methyl]phenyl]-2-nitro(9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1997:618083 CAPLUS DOCUMENT NUMBER: 127:248106 TITLE: Preparation of this act 127:248106
Preparation of thiazolidine-2,4-dione derivatives for the treatment of diabetes
Taira, Seizo, Sugimoto, Atsushi
Torii Pharmaceutical Co., Ltd., Japan; Taira, Seizo; Sugimoto, Atsushi
PCT Int. Appl., 35 pp.
CODEN: PIXXO2
Patent
Japanese
1 INVENTOR(5): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9732863 A1 19970912 WO 1997-JP639 19970303
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MM, MM, MX, NO, NZ, PL, FR, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, XZ, MD, RU, TJ, TM TR, TT, UA, UG, US, UZ, VN, RW: GH, KE, LS, MV, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, IU, MC, NL, FT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9722913 A1 19970912 AU 1997-22313 19970303
PRIORITY APPLIN. INFO:: 19970812 1996-51532 19960300 OTHER SOURCE(S):

R1R2R3C-A

Novel thiazolidine-2,4-dione derivs. represented by general formula [I; RI = cycloalky], substituted or unsubstituted Ph, naphthyl, or a mono- or bicyclic heterocyclyl group contg. one or more atoms selected from among nitrogen, oxygen and sulfurr R2, R3 = hydrogen, lower alkyl, cycloalkyl, alkoxy, halogeno, hydroxy, (optionally protected) amino, Ph or (CH2)n (wherein n = 2 to 6) A = an amide linkage, in particular NHCO or CONH] or salts thereof, which possess excellent activity for lowering blood sugar and lipid and alleviate insulin resistance of peripheral tissues, are prepd. Diabetes remedies contg. I as the active ingredients are claimed. Thus, 5 (-4-mainohenzyl) thiazolidine-2,4-dione was condensed with (R)-2-phenylpropionic acid using 1-hydroxybenzotriazole and 1-ethyl-3.(3-dimethylaminopropyl) carbodiimide hydrochloride in DMF to give I [RIRZR3C-A = (R)-PhMcCHCONH], which at 100 mg/kg p.o. in vivo lowered 544 blood sugar in mice.

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

195603-80-6 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-nitro-(9C1) (CA INDEX NAME)

195603-01-7 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidiny1)methyl]phenyl]-4-nitro-(9C1) (CA INDEX NAME)

10049645 Page 10 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

NO2

RN 195603-82-8 CAPLUS
CN Benzenacetanide, N-[4-({2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2,4-dinitro-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195603-84-0 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-methoxy-(9CI) (CA 1NDEX NAME)

RN 195603-85-1 CAPLUS
CN Benzenaencetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-methoxy-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

NO2

RM 195603-83-9 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

OHe

RN 195603-86-2 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-methyl(9C1) (CA INDEX NAME)

10049645 Page 11 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

195603-87-3 CAPLUS
Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-methyl-(9CI) (CA INDEX NAME)

195603-88-4 CAPLUS
Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-methyl(9CI) (CA INDEX NAME)

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

195603-90-8 CAPLUS Benzeneacetanide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-fluoro-(9CI) (CA INDEX NAME)

195603-91-9 CAPLUS
Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-fluoro-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

195603-89-5 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-fluoro-(9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 2-A

195603-92-0 CAPLUS
Benzeneacetamide, 2-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-(9CI) (CA INDEX NAME)

10049645 Page 12 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195603-93-1 CAPLUS
CN Benzeneacetamide, 3-chloro-N-[4-[(2,4-dioxo-5-thiazolidiny1]methy1]pheny1](9C1) (CA INDEX NAME)

RN 195603-94-2 CAPLUS
CN Benzeneacetamide, 4-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl](9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

O

CH2

H

O

CH2

OH

OH

OH

RN 195603-96-4 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)

RN 195603-97-5 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

RN 195603-95-3 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

ОН

RN 195603-98-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

Ph

RN 195603-99-7 CAPLUS
CN Benzeneacetamide, 4-(dimethylamino)-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-01-4 CAPLUS
CN 2-Naphthaleneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl](9C1) (CA INDEX NAME)

RN 195604-09-2 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-, [5[R]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195604-10-5 CAPLUS
CN Benzeneactamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-, [5(8)]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued

PAGE 1-A

PAGE 2-A

NMe2

RN 195604-00-3 CAPLUS CN 1-Naphthaleneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-(9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-11-6 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.-ethyl- (9CI) (CA INDEX NAME)

RN 195604-12-7 CAPLUS
CN Benzeneacetamide, .alpha.-cyclopentyl-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 195604-13-8 CAPLUS
CN Benzeneactamide, .alpha.-cyclohexyl-N-[4-[(2,4-dioxo-5-thiazolidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

10049645 Page 14 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-14-9 CAPLUS CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.phenyl- (9CI) (CA INDEX NAME)

RN 195604-16-1 CAPLUS CN Benzenacatamide, N=[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methoxy- (9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-19-4 CAPLUS CN Benzenacetanide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.-methyl-2-nitro-(GCI NDEX NAME)

RN 195604-20-7 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-4-nitro- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-17-2 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl].alpha.,.alpha.,-diethyl- (9CI) (CA INDEX NAME)

RN 195604-18-3 CAPLUS
CN Benzeneactamide, N-[4-[(2,4-dioxo-5-thiazolidiny1)methy1]pheny1].alpha.,.alpha.,dipheny1- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

NO2

10049645 Page 15 08/23/2002

L4 ANSWER 5 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171LE:
INVENTOR(S):

PATENT ASSIGNEE(S):
SOURCE:

COURSE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE A2 19931122 MARPAT 120:245079 - JP 1992-110460 19920428 JP 05310718

The title derivs. I (R1, R2 = H, OH, lower alkoxy, alkoxymethoxy) are prepd. A mixt. of 25.0 g 5-(3-acetylbenzyl)thiazolidine-2,4-dione (prepd. from m-aminoacetophenone in 2 steps), 19.7 g 3-methoxyd-4 methoxymethoxybenzaldehyde, and aq. KOH in MeOH was treated at room temp. for 2.5 h to give 23.1 g 5-[3-[3-]amethoxyd-methoxymethoxyphenyl]-2-propencyl)benzyl]thiazolidine-2,4-dione, which (6.20 g) was treated with tetr-Bu bromacetate in the presence of XZOO in DMF at room temp. for 1.5 h to give 3-tetr-butoxycarbonylmethyl-5-[3-(3-(4-hydroxy-3-methoxyphenyl)-2-propencyl)benzyl]thiazolidine-2,4-dione (II). II (4.30 g) was stirred at room temp in HCOZH for 2.5 h to give 2.70 g I (R1 = OMe, R2 = OH) (III). III inhibited aldose reductase with IC50 of 1.4 .times. 10-7. 154066-94-1 p 154066-94-1 p 154066-94-1 p 154066-94-1 cAPLUS 3-Thiazolidine-actic acid, 5-[[3-[4-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-2,4-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

IT

145704-71-8F 145704-74-1F
RL: SFN (Synthetic preparation); PREP (Preparation)
(prepn. and protection of)
145704-71-8 CAPLUS
2,4-Thiazolidis medione, 5-[[4-[3-[3-methoxy-4-(methoxymethoxy) phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (SCI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

145704-74-1 CAPLUS
2,4-Thiazolidinedione, 5-[[3-[3-[3-methoxy-4-(methoxymethoxy) phenyl]-1-oxo-2-propenyl] phenyl methyl)- (SCI) (CA INDEX NAME)

ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

154066-95-2 CAPLUS
3-Thiazolidineacetic acid, 5-{[4-[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-2,4-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

(Continued) L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

154066-96-3P 154066-97-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antidiabetic)
154066-96-3 CAPUS
3-Thiazolidineacetic acid, 5-[[3-[3-[4-hydroxy-3-methoxypheny1]-1-oxo-2-propeny1]pheny1]methy1]-2,4-dioxo- (9CI) (CA INDEX NAME) ΙT

сн2-со2н

154066-97-4 CAPLUS
3-Thiazolidineacetic acid, 5-[[4-[3-(4-hydroxy-3-methoxyphenyl]-1-oxo-2-propenyl]phenyl]methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

10049645 Page 16 08/23/2002

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

O-CH2-OMe

145704-71-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
1993:80926 CAPLUS
118:80926
Thizoldine-2,4-dione compounds, method for their production, and medicines containing them for treatment of diabetic complications
Miyaoka, Shozo; Takahashi, Hiroaki; Ushijima, Hideto; Source:
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	A1 19920610	EP 1991-403312	19911206
EP 489663 R: BE, CH,			
JP 04210683	A2 19920731	JP 1990-413602	19901206
US 5225426	A 19930706	US 1991-802308 JP 1990-413602	19911204
PRIORITY APPLN. INFO OTHER SOURCE(S):	.: MARPAT 118:8		19901200

Title compds. I (R1 = H, Me) are prepd. as antidiabetic drugs with a combined action, both inhibiting aldose reductase and depressing blood sugar. For example, condensation of 5-(4-acetylbenzyl)thiazolidine-2,4-dione (prepd. in 2 steps) with 3,4-(MeO)(MeOCH2O)C6BIGCHO in methanolic KOH, and deprotection of the product by RCI in aq. THP-MeON, gave I (R1 = Me: CH2 group in 4-position) (II). At 100 mg/kg/day orally for 4 days in diabetic rats, II gave 93.8% inhibition of sorbitol accumulation and 60.5% drop in blood sugar, whereas a comparative thiazoleacetic acid deriv. gave 90.3% inhibition of sorbitol but only 7.2% blood sugar drop. Prepns. and biol. data for 3 I are described; these and 3 addnl. I are claimed. 145704-70-7P 145704-71-8P 145704-74-1P
RR: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrolysis of) 145704-70-7 CAPLUS
2,4-Thiazolidinedione, 5-[{4-[3-[3,4-bis(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

O-CH2-OMe

145704-74-1 CAPLUS 2,4-Thiazolidinedione, 5-[[3-[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ CH_2 \\ CH_2 \\ CH_2 \\ CH_3 \\ CH_4 \\ CH_2 \\ CH_2 \\ CH_3 \\ CH_4 \\ CH_5 \\ CH_5 \\ CH_5 \\ CH_6 \\ CH_6$$

145704-63-8P 145704-64-9P 145704-65-0P 145704-66-1P 145704-67-2P 145704-68-3P RL: SPN (Synthetic preparation); PREP (Preparation)

10049645 Page 17 08/23/2002

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

(prepn. of, as antidiabetic)

RN 145704-63-8 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

145704-64-9 CAPLUS 2,4-Thiazolidinedione, 5-[(4-(3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl)phenyl)methyl]- (9C1) (CA INDEX NAME)

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

145704-66-1 CAPLUS
2,4-Thiazolidinedione, 5-[[3-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

145704-67-2 CAPLUS
2,4-Thiazolidinedione, 5-[[2-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

145704-68-3 CAPLUS 2,4-Thiazolidinedione, 5-[[2-(3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

(Continued) ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

145704-65-0 CAPLUS 2,4-Thiazolidinedione, 5-[[3-[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1992:255519 CAPLUS DOCUMENT NUMBER: 116:255519 TITLE: Novel thiazolidine-2,4-

Novel thiazolidine-2,4-diones as potent euglycemic

Novel thiazolioline-Z, and online as potent edg. Jeense agents.
Hulin, Bernard; Clark, David A.; Goldstein, Steven W.;
McDermott, Ruth E.; Dambek, Faul J.; Kappeler, Werner
H.; Lamphere, Charles H.; Levis, Diana M.; Rizzi,
James P.
Pfizer Inc., Groton, CT, 06340, USA
J. Med. Chem. (1992), 35(10), 1853-64
CODEN: JMCMAR; ISSN: 0022-2623
Journal AUTHOR(S):

CORPORATE SOURCE: SOURCE:

Journal English

DOCUMENT TYPE: LANGUAGE:

A new series of thiszolidine-2,4-diones I [R = H, Z = O, X = (CH2)n, (n = 1, 2, 3), OCH2, CH:CH; R = 4-PhCH2O, 4-Ph, 2-MeO, 4-MeO, Z = O, X = (CH2CH2); R = H, Z = H2 or H,OH, X = CH2CH2; R = 4-PhCH2O, 2-MeO, 2-Cl, 2-CP3, 2-PhCH2, 3-Cl, 4-Br, 4-EtO2C, 4-Ph, 2-HO, 2-Me, 4-MeOCH2, 4-MeO, 2-Cl, 2-CP3, 2-PhCH2, 3-Cl, 4-Br, 4-EtO2C, 4-Ph, 2-HO, 2-Me, 4-MeOCH2, 4-MeO, 4-Me2N, Z = O, X = CH:CH] was obtained by replacing the ether function of englitazone with various functional groups, i.e., a ketone, alc., or olefin moiety. These compds. lower blood glucose levels in the genetically obese and insulin-resistant ob/ob mouse. Appending an oxazole-based group at the terminus of the chain provided highly potent compds. s. g. II [R] = Ph, 4-MeCGH4, R2 = Me, H; R1 = 4-MeCCH4, 4-CR3CGH4, 4-HOCGH4, 3, 5, 4-MeZGH4, R2 = Me, H; R1 = 4-MeCCH4, 4-CR3CGH4, 4-HOCGH4, 3, 5, 4-MeZGH4, R2 = Me, H; R1 = 4-MeCCH4, 141199-92-OP 141199-93-1P 141199-94-2P 141200-01-2-P 1

10049645 Page 18 08/23/2002

(Continued) L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

141199-93-1 CAPLUS
2,4-Thiazolidinedione, 5-[[4-(3-[1,1'-biphenyl]-4-yl-1-oxopropyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

141199-96-4 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-[4-methoxypheny1]-1-cxopropyl]phenyl]methyl]- [9CI] (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

141199-94-2 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(2-methoxyphenyl)-1-oxopropyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

141199-99-7 CAPLUS 141200-00-2 CAPLUS 141200-01-3 CAPLUS 141200-02-4 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[1-oxo-3-[2-(trifluoromethyl)phenyl]-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

141200-05-7 CAPLUS 2,4-Thiszolidinedione, 5-[{4-[3-(3-chlorophenyl)-l-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

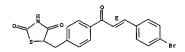
Double bond geometry as shown.

141200-06-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(4-bromophenyl])-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

10049645 Page 19 08/23/2002

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS



141200-08-0 CAPLUS
141200-09-1 CAPLUS
2,4-Thiazolidis nedione, 5-[[4-[3-[2-methylphenyl]-1-oxo-2propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

141200-10-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[4-(methoxymethyl)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

141200-12-6 CAPLUS
141200-13-7 CAPLUS
2,4-ThiazOlidinedione, 5-[[4-[3-[4-{dimethylamino}]phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
141200-07-9 CAPLUS
141200-11-5 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[4-(methoxymethyl)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

141200-14-8 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-[4-(dimethylamino)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (SCI) (CA INDEX NAME)

• Na

141200-17-1 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, monosodium salt, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 141200-18-2 CAPLUS

Examiner Anderson 703-605-1157

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) 141200-15-9 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, (R-,R-)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

14 | ZUU-10-U CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, (Rr,51)- (SCI) (CA INDEX NAME)

Relative stereochemistry.

141221-04-7 CAPLUS
Benzoic acid, 4-[3-[4-[(2,4-dioxo-5-thiazolidinyl]methyl]phenyl]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME) RN CN

141221-05-8 CAPLUS
141199-95-3P 141199-97-5P 141200-03-5P
141200-07-9P 141200-11-5P 141200-14-8P
141200-17-1P 141200-18-2P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
141199-95-3 CAPLUS
141199-97-5 CAPLUS
141200-03-5 CAPLUS

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) 2,4-Thiazolidinedione, 5-[(4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, monosodium salt, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

• Na

141199-98-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., conjugate redn. or hydrogenolysis, and suglycemic activity of)
141199-98-6 CAPLUS
141199-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., redn., and suglycemic activity of)
141199-88-4 CAPLUS

10049645 Page 20 08/23/2002

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1991:55794 CAPLUS COCUMENT NUMBER: 114:55794 Hypolipemics containing the company of the compan

114:55794
Hypolipemics containing (thiazolidinylmethyl)benzoxazo
les or (thiazolidinylidenemethyl)benzoxazoles
Iijima, Ikuo: Ozeki, Masakatsu: Okumura, Kunito: Mori,
Tetsuji: Inamasu, Masanori
Tanabe Seiyaku Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JXXXAF

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: Japanese

LANGUAGE: J FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

#ATENT NO. KIND DATE APPLICATION NO. DATE

JP 02167224 A2 19900627 JP 1989-216742 19890822

JP 05039927 B4 19930616

W0 9119496 A1 19911226 W0 1990-JP791 19900618

W: CA, KR, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE

JP 05194221 A2 19930803 JP 1992-257107 19920811

JP 2518497 B2 19960724 JP 1988-229088

G1 WARPAT 114:55794

G1

Hypolipemics contain title compds. I [R = (un)substituted Ph, naphthyl, cycloalkyl, heterocyclyl; Alk = bond, lower alkenylene, lower alkynylene, (un)substituted lower alkylene; the dotted line may be a double bond) or their pharmacol, acceptable salts as active ingredients. Treatment of a THF-DNF soln. contq. 3.10 q 5-(3-amino-4-hydroxybenzyl)-2,4-dioxothiazolidine (prepn. given) and N.N-dmethylanline with a THF soln. of 2.38 q 2-phenyl-4-thiazoleacetyl chloride at room temp. for 20 min gave 3.35 g N-5-(2,4-dioxothiazolidin-5-yl)methyl-2-hydroxyphenyl-2-phenylthiazol-4-acctamide, which was treated with trimethylsilyl polyphosphate at 100.degree. for 30 min to afford 615 5-[2,4-dioxochiazolidin-5-yl)methyl]-2-[(2-phenyl-1,3-thiazol-4-yl)methyl)benzoxarole (II). Rats were fed a high-cholesterol diet contg. 10 mgt (sic) II for 3 days, resulting in decrease in serum cholesterol level by 30, increase in high-d. lipoprotein cholesterol level 82, and decrease in triglyceride 461.

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:
DOCUMENT NUMBER:
1191:604 CAPLUS
114:604
Thiazolidinylmethyl)benzoxazoles or
(thiazolidinylidenemethyl)benzoxazoles as hpoglycemics
1ijima, lkuo; Ozeki, Masakatsu; Okumura, Kunito;
Inamasu, Masanori
Tanabe Setyaku Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXXAP
Patent
LANGUAGE:
PATENT ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE JP 02167225 JP 05039928 JP 05194222 PRIORITY APPLM. INFO.: OTHER SOURCE(S): G1 19900627 19930616 19930803 A2 B4 A2 19890907 JP 1989-232385 ... 19930803 JP 1992-257106 JP 1988-233199 MARPAT 114:604 19920811 19880916

Hypoglycemics contain title compds. I [R = (un)substituted Ph, naphthyl, cycloalkyl, heterocyclyl; Alk = bond, lower alkenylene, lower alkynylene, (un)substituted lower alkylene; the dot line may be double bond] or their pharmacol. acceptable salts as active ingredients. Treatment of THF-DMF soln. contg, 3.10 g 5.(3-amino-4-hydroxybenzyl)-2,4-dioxothiazolidine (prepn. given) and N,N-dimethylaniline with THF soln. of 2.38 g 2-phenyl-4-thiazolacety; chloride at room temp. for 20 min gave 3.35 g N-[5-[2,4-dioxothiazolidin-5-yl]methyl-2-hydroxyphenyl]-2-phenylthiazol-4-acctamide, which was then treated with trimethylsilyl polyphosphate at 100.degree. for 30 min to afford 618 5-[2,4-dioxothiazolidin-5-yl]methyl]-2-[(2-phenyl-1,3-thiazol-4-yl)methyl]benzoxazole [TI]. Hypoglycemic effects of II (administered in diet) were demonstrated in rats.y. 130769-07-29
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

130769-07-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of)
130769-07-2 CAPLUS
Benzeneacetamide, 4-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation)
(prepn. and reaction of)
118383-54-3 CAPLUS
Benzeneacetamide, 4-chloro-N-[S-[(2,4-dioxo-5-thiazolidiny1)methy1]-2-hydroxypheny1]- (9C1) (CA INDEX NAME)

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

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10049645 Page 21 08/23/2002

ACCESSION NUMBER: 1990:406325 CAPLUS
DOCUMENT NUMBER: 113:6325
TITLE: Preparation of thiazolidinediones as hypoglycemic

Preparation of interesting agents Clark, David Alan, Goldstein, Steven Wayne, Holland, Gerald Fagan, Hulin, Bernard; Rizzi, James Patrick Pfizer Inc., USA Eur. Pat. Appl., 46 pp. CODEN: EPXXDW Patent INVENTOR (5):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1

PATENT INFORMATION:				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 332331 EP 332331	A2	19890913	EP 1989-302012	19890228
			on in it to Ni	. SE
WO 8908650	A1	19890921	GR, IT, LI, LU, NL WO 1988-US744	19880308
W: FI, HU,	, NO, US A2	19910828	HU 1988-2857 AT 1989-302012	19880308
			AT 1989-302012 ZA 1989-1682	19890226
CA 1328872	A1	19940426	ZA 1989-1682 CA 1989-592854 DK 1989-1082	
Att 8931075	Al	13830314	MO 1505 510.0	19890307
AU 593758 JP 01272573	A2	19891031	JP 1989-54841	19890307
JP 2569167 US 5061717	A	19911029	US 1990-566436	19900814 19900905
NO 9003862 US 5120754	A	19920609	NO 1990-3862 US 1991-679898	19910403
US 5223522 PRIORITY APPLN. INF	A	19930629	WO 1988-US744	19880308
PRIORITI ALIZAT ETT			EP 1989-302012 US 1990-566436	19900814
	٠,	CDENCT 113:	US 1991-679898 6325; MARPAT 113:632	19910403 5
OTHER SOURCE(S):	C.	Didaioi 113.		

Title compds. I (A, B = CH, N; X = S, SO, SO2, CH2, CHOH, CO; X1, X2 = H,

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

1256/9-04-1 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(2-methylphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Na

125679-05-2 CAPLUS
2,4-Thiazolidinedione, S-[[4-[3-(4-bromophenyl)-l-oxo-2-propenyl]phenyl]methyl]-, potassium salt, [E]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

125679-06-3 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(4-nitrophenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Examiner Anderson 703-605-1157

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

Ne, FJC, Ph, PhCHZ, HO, MeO, PhO, PhCHZO, Br, CL, Fr, Y = RICH, RZN; Z = RJCH, CHZCHZ, CHICH, etc.; R, R1, R2, R3 = H, Mer n = 0, 1) and a RJCH, CHZCHZ, CHICH, etc.; R, R1, R2, R3 = H, Mer n = 0, 1) and a pharmaceutically acceptable salt, useful for lowering blood glucose or blood cholesterol, are prepd. 2,4-Thiazolodinactione in THF was cooled to blood cholesterol are prepd. 2,4-Thiazolodinactione in THF was cooled to row and represent the results of th

Double bond geometry as shown.

125679-03-0 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[1-oxo-3-[2-(phenylmethyl)phenyl]-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

125679-07-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(3-chlorophenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME) Double bond geometry as shown.

125679-08-5 CAPLUS 2,4-Thiazolidinedione, 5-{[4-[3-(3-nitropheny1)-1-oxo-2-propeny1]pheny1]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

• Na

125679-09-6 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]henyl]methyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

10049645 Page 22 08/23/2002

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) Double bond geometry as shown.

125679-10-9 CAPLUS 2.4-Thiazolidinedione, S-[[4-[3-[2-[(methylsulfonyl)oxy]phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

• Na

125679-11-0 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(Continued) L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

• Na

125679-15-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(3-methoxyphenyl])-10x0propyl]phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
125679-12-1 CAPLUS
Acetamide, N-[4-[3-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-oxo-1-propenyl]phenyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

125679-13-2 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(2-methoxyphenyl)-1-oxopropyl]phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

125679-14-3 CAPLUS
2,4-Thiazolidinedione, 5-[[4-(3-[1,1'-biphenyl]-4-yl-1-cxopropyl)phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

125699-10-7 CAPLUS 2,4-Thiazolidinadione, 5-[[4-[3-[4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

1/20093-11-8 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-oxo-3-phenylpropyl)phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

10049645 Page 23 08/23/2002

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued

Na

RN 125699-12-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl])-1-oxopropyl]phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 125734-16-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(2-chlorophenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown

RN 125734-17-0 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-[2-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-18-1 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[1-oxo-3-[4-(phenylmethoxy)pheny1]-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-19-2 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Examiner Anderson 703-605-1157

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
PAGE 2-A

) OM

Na

RN 125699-13-0 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, monosodium salt (9CI) (CA INDEX NAME)

• Na

RN 125734-14-7 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-(1-oxo-3-phenyl-2-propenyl)phenyl]methyl]-,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-15-8 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(2-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 125734-20-5 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-21-6 CAPLUS
CN Acetamide, N-[4-[3-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-oxo-1-propenyl]phenyl]-, (E)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-22-7 CAPLUS CN 2,4-Thiszolidinedione, 5-[[4-(1-oxo-3-phenylpropy1)phenyl]methyl]- (9CI) (CA INDEX NAME)

10049645 Page 24 08/23/2002

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
125734-23-8 CAPLUS
2,4-Thiazolidinedione, 5-[{4-[1-oxo-3-[4-(phenylmethyl)phenyl]propyl]pheny
1]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

125734-24-9 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(3-methoxyphenyl)-1-oxopropyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

125758-74-9 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[2-[(methylsulfonyl)oxy]phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(Continued) L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

125734-25-0 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropy1)phenyl]methyl]-(9C1) (CA INDEX NAME)

125758-73-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-(3-[1,1'-biphenyl]-1-oxo-2-propenyl)phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:57657 CAPLUS
110:57657 Preparation of 5-[benzoxazolylmethyl(or methylene]]-2,4-chiazolidinediones as antidiabetics
11j.ma, 1kuor Ozeki, Masakatsur Okumura, Kunihitor
1namasur, Masahorti
1namasur, Masahorti
20ur.CE: CODEN: EFXXDW
DOCUMENT TYPE: LANGUAGE: PAHILY ACC. NUM. COUNT: 1
English
FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				-
EP 283035		19880921	EP 1988-104361	19880318
TD 202025	10.1	19911106		
R: AT. BE	. CH. DE	, ES, GB, GR,	IT, LI, LU, NL, SE	********
FI 8801103	A	19880919	FI 1988-1103	19880309
01060	B	19940513		
FI 91869 FI 91869 JP 01056675	C	19940825		
JP 01056675	A2	19890303	JP 1988-58068	19890310
JP 05005832	B4	19930125		
US 4897393	A	19900130	US 1988-167391	19880314
AU 8813177	A1	19880922	AU 1988-13177	19880316
AU 600805	В2	19900823		
CA 1304371	A1	19920630	CA 1988-561556	
DK 8801474	A	19880919	DK 1988-1474	
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CN 1026322	В	19880919 19881005 19941026		
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HU 204525	В	19920128		
IL 102894	A1	19930221	IL 1988-102894	19880317
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FR 2612516	A1	19880923	FR 1988-3570	19880318
FR 2612516	B1	19921113		
AT 69226	E	19911115	AT 1988-104361	19880318
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US 4948900	A	19900814	US 1989-435807	
AU 9055783	A1		AU 1990-55783	19900521
AU 618483	B2			19911024
CA 1326489	A2	19940125	CA 1991-616209	19911024
ORITY APPLN. IN	FO.:		JP 1987-65359	
·····			JP 1987-67073	19870320
			US 1988-167391	19880314
				19880316 19880317
			IL 1988-85767	TAORDOTI

CA 1988-001300

IL 1988-85767 19880317

EP 1988-104361 19880318

OTHER SOURCE(5): CASREACT 110:576577 ARRPAT 110:57657

GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = (un)substituted cycloalkyl, Ph. naphthyl, heterocyclyl; Z = bond, alkenylene, alkynylene, (un)substituted alkylene; dotted line is optional double bond) and their pharmaceutically acceptable salts were prepd. as hypoglycemics, useful in treating diabetes.

4-HZNCGH40H was converted in 3 steps to 5-(p-hydroxybenzyl)-2,4-thiazolidinedione which was nitrated and reduced to give 5-(3-amino-4-hydroxybenzyl)-2,4-thiazolidinedione. The latter was N-acylated with 2-phenyl-4-thiazoleacetyl chloride and the resulting

10049645 Page 25 08/23/2002

- L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) anilide was cyclized by heating at 100.degree. in ClCHZCH2Cl with P205 and (Me351) 20 to give (thiazolylmethyl)benzoxazole II. Genetically obese and diabetic mice given feed conty. 0.5 mg% II for 5 days had their blood glucose level reduced 631.

 IT 18383-54-3P 18383-57-6P
 RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation) (preps. and reaction of, in preps. of hypoglycemics)
 RN 18383-54-3 CAPLUS
 CN Benzeneacetanide, 4-chloro-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (SCI) (CA INDEX NAME)

118383-57-6 CAPLUS
Benzeneacetamide, 4-{dimethylamino}-N-{5-{{2,4-dioxo-5-thiazolidinyl}methyl}-2-hydroxyphenyl}- (9CI) (CA INDEX NAME)

10049645 Page 26 08/23/2002

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.45	192.32
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.82	-6.82

STN INTERNATIONAL LOGOFF AT 14:41:34 ON 23 AUG 2002